

DOCKET NO.: IBIS-0403(IBIS0055-100)
SERIAL NO.: 10/071,978

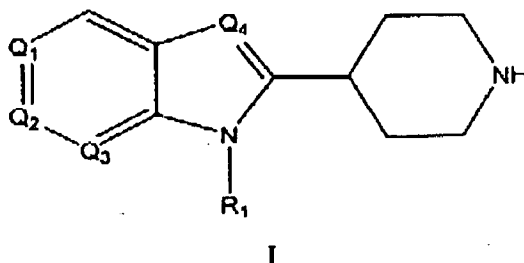
PATENT
FILED:02/06/2002

In the Claims:

please cancel, or verify to have been canceled, claims 2, 22-62, 64, 95 and 98-106.

Please amend claims 1, 7, 8, 11, 63 and 65 to read as follows:

1.(Twice Amended) : A compound having the formula I:



wherein:

Q₁ is CR₃;

Q₂ is CR₄;

Q₃ is CH CR₂₀;

Q₄ is N;

R₁ is H-, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl, alkoxyalkoxyalkyl, alkyl-S-R₇, alkyl-NH-C(=O)-R₈ or -R₉-X-R₁₀-R₁₁)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R₁ groups can be optionally substituted with up to 5 groups independently selected from the group consisting of C₁-C₆ alkyl, OH, hydroxyalkyl, -C(=O)-R₅; CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

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or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl or alkoxyalkoxyalkyl moieties of one of said R_1 groups can be attached to a structure of Formula I at position R_1 thereof;

R_3 and R_4 are independently each H, halogen, C_1 - C_6 alkyl, trihaloalkyl, alkoxy carbonyl, alkoxy, $NR_{15}R_{16}$, and NO_2 , wherein said C_1 - C_6 alkyl, alkoxy carbonyl, and alkoxy groups can each be optionally substituted with $NR_{15}R_{16}$;

R_5 is H, $-NHNHR_6$, $-NIN=CH-R_6$, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R_6 is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, $-C(=S)-NH$ -aryl, $-C(=S)-NH$ -arylcarbonyl, $-C(=S)-NII$ -heteroarylcarbonyl, $-C(=S)-NH$ -alkylene- R_{21} , $-C(-O)-NH$ aryl, $-C(=O)-NH$ -arylcarbonyl, $-C(-O)-NH$ -heteroarylcarbonyl, or $-C(=O)-NH$ -alkylene- R_{21} where R_{21} is carboxy, alkoxy carbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO_2 , $-SH$, $-S$ -alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group;

R_7 is heteroaryl or heterocycloalkyl;

R_8 is aryl;

R_9 and R_{10} are each independently alkylene having from 1 to about 20 carbons;

X is $-N(R_{12})-$, $-C(R_{13})(R_{14})-$ or O ;

R_{11} is H , heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxy carbonyl, and CN ;

R_{12} is H or C_1 - C_6 alkyl; and

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R_{13} and R_{14} are each independently H or C_1-C_6 alkyl,

R_{15} is H, halogen, C_{1-12} alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula $CH_2(CHOH)_4CH_2OH$,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R_{16} is H, halogen, or C_1-C_6 alkyl;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO_2 and halogen, or a group of Formula I at position R_1 thereof;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q4 thereof;

provided that when R_3 and R_4 are H, R_1 is not:

~~H, methyl, $CH_2C(=O)O-A$ where A is a cyclopentacycloocten-8-yl ether, 1-(1-methylcyclohexyl)piperidin-4-yl, 1-(1-phenylcyclohexyl)piperidin-4-yl, or ethoxyethyl.~~

2. (Canceled):

3. (Previously amended): The compound of claim 1 wherein R_3 and R_4 are each independently halogen, amino, NO_2 , CN, C_{1-6} alkoxy or C_{1-6} alkyl optionally substituted with up to 3 halogen atoms.

4. (Previously amended): The compound of claim 1 wherein R_3 and R_4 are each independently halogen, amino, or NO_2 .

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5. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each independently halogen.

6. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each chlorine.

7. (Currently amended): The compound of claim 1 wherein R₁ is ~~alkyl~~, alkyl substituted with alkoxy carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

8. (Currently amended): The compound of claim 6 wherein R₁ is ~~alkyl~~, alkyl substituted with alkoxy carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

9. (Original): The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

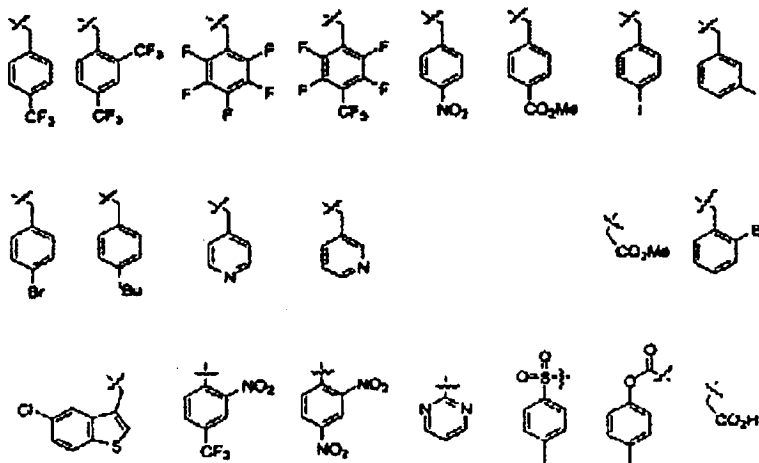
10. (Original): The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

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11. (Currently Amended): The compound of claim 1 wherein said R_1 is selected from the radicals consisting of:



12. (Previously amended): The compound of claim 1 wherein R_1 is alkyl substituted with -C(-O)- R_5 .

13. (Original): The compound of claim 12 wherein R_5 is -NHNHR₆, or -NHN=CH-R₆.

14. (Original): The compound of claim 13 wherein R_5 is -NHNHR₆.

15. (Original): The compound of claim 13 wherein R_5 is -NHN-CH-R₆.

16. (Original): The compound of claim 14 wherein R_6 is -C(-O)-NH-aryl, -C(=O)-NHcycloalkyl, -C(-S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(-S)-NH-alkylene-R₂₁ where R_{21} is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally

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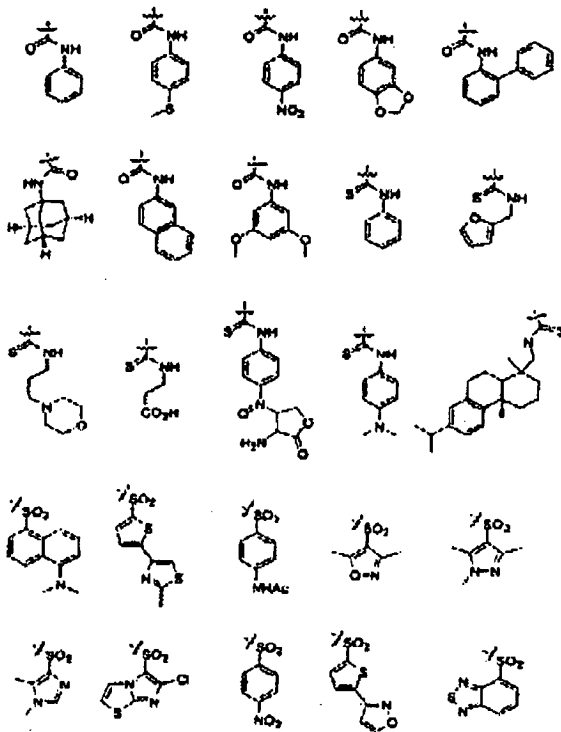
having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof,
wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, NO_2 , a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group, aryl, C_{1-6} alkoxy, carboxy, or C_{1-6} trihaloalkoxy.

17. (Original): The compound of claim 15 wherein R_6 is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C_{1-6} alkoxy, NO_2 , C_{1-6} trihaloalkoxy, C_{1-6} trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group.

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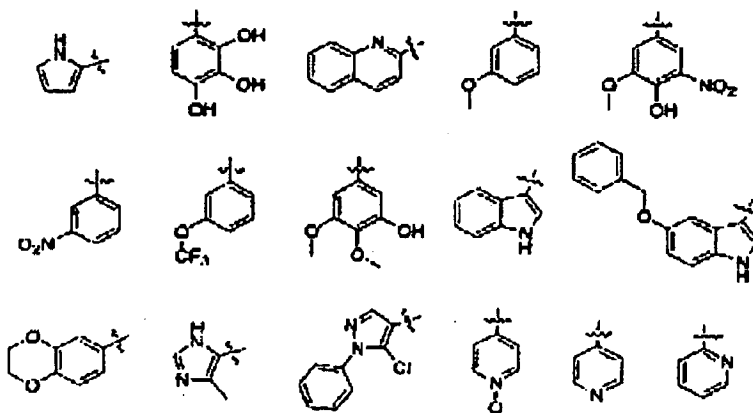
18. (Previously amended): The compound of claim 14 wherein said R_6 is any of the radicals from the group consisting of:



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19. (Previously amended): The compound of claim 15 wherein said R_6 is any of the radicals of the group consisting of:



20. (Original): The compound of claim 6 wherein R_1 has the formula $-(CH_2)_q-L_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L_4 is optionally substituted with up to three substituents selected from halogen and NO_2 .

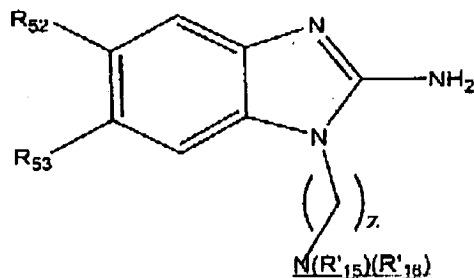
21. (Original): The compound of claim 20 wherein said L_4 is N-maleimidyl, N-succinimidyl, N-phthalimidyl, N-naphthalimidyl, N-pyromellitic diimidyl, phenylsulfonamidyl, phenylcarboxamidyl, N-benzopyrrolidinyl, benzimidazol-1-yl, benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said L_4 groups being optionally substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and NO_2 .

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Claims 22-62. (Canceled)

63. (Twice amended): A compound of formula:



wherein;

R_{52} and R_{53} are each independently selected from H, halogen, C_1 - C_6 alkyl, trihaloalkyl, alkoxy, carbonyl, alkoxy, $NR_{15}R_{16}$, wherein said C_1 - C_6 alkyl, alkoxy, carbonyl, and alkoxy groups can each be optionally substituted with $NR_{15}R_{16}$; R_{15} is H, halogen, C_1 - C_{12} alkyl, methyl, carbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula $CH_2(CHOH)_2CH_2OH$; wherein said methyl, carbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R_{16} is H, halogen, or C_1 - C_6 alkyl, but $R_{16} \neq R_{15}$;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein

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said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO_2 and halogen; and z is 1 to 6.

64. (canceled): The compound of claim 63 wherein ~~R_{13} or R_{16} is methyl~~.

65. (Currently Amended): The compound of claim ~~63~~ 64 wherein z is 2 or 3.

66. (Original): The compound of claim 65 wherein R_{52} and R_{53} are each independently H, C_{1-6} alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.

67. (Original): The compound of claim 66 wherein R_{52} is H.

68. (Original): The compound of claim 67 wherein R_{53} is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

69. (Original): The compound of claim 67 wherein R_{53} is OCH_3 or $\text{O}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$.

70. (Original): The compound of claim 66 wherein R_{53} is H.

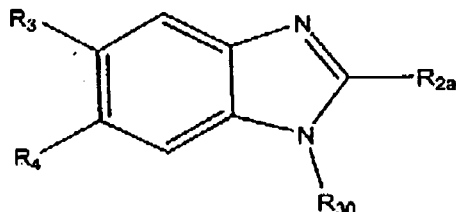
71. (Original): The compound of claim 70 wherein R_{52} is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

72. (Original): The compound of claim 70 wherein R_{52} is OCH_3 or $\text{O}(\text{CH}_2)_3\text{N}(\text{CH}_3)_2$.

73. (previously amended): A compound of Formula:

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wherein:

R_{2a} is amino, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl, halogen, heterocycloalkylalkyl (i.e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene- L_1 where L_1 is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;

wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl, cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C_1 - C_{12} alkyl, a structure of formula -C(=O)CH(NH₂)- L_2 where L_2 is the side chain of a naturally occurring alpha amino acid, -C(NH₂)-NH, C_1 - C_{12} alkylcarbonyl, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

R_3 and R_4 are each independently hydrogen, halogen, amino, NO₂, CN, C_{1-6} alkoxy or C_{1-6} alkyl optionally substituted with up to 3 halogen atoms;

R_{30} is H, aryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl, alkoxyalkoxyalkyl, alkyl-S- R_7 , alkyl-NH-C(=O)- R_3 or - R_9 -X- R_{10} R_{11})H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R groups can be optionally substituted with up to 3 groups independently

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selected from the group consisting of C_1 - C_6 alkyl, OH, hydroxyalkyl, $-C(=O)-R_5$, CN, aryl, alkoxycarbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO_2 , halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl- $NR_{15}R_{16}$ and $NR_{15}R_{16}$;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl or alkoxyalkoxyalkyl moieties of one of said R_1 groups can be attached to a structure of Formula I at position R_1 thereof;

R_5 is H, $-NHNHR_6$, $-NHN=CH-R_6$, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R_6 is aryl, heteroaryl, arylsulfonyl, heteroarylsulfonyl, $-C(=S)-NH$ -aryl, $-C(=S)-NH$ -arylcarbonyl, $-C(=S)-NH$ -heteroarylcarbonyl, $-C(=S)-NH$ -alkylene- R_{21} , $-C(=O)-NH$ -aryl, $-C(=O)-NH$ -arylcarbonyl, $-C(=O)-NH$ -heteroarylcarbonyl, or $-C(=O)-NH$ -alkylene- R_{21} where R_{21} is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO_2 , $-SH$, $-S$ -alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group;

R_7 is heteroaryl or heterocycloalkyl;

R_8 is aryl;

R_9 and R_{10} are each independently alkylene having from 1 to about 20 carbons;

X is $N(R_{12})$, $-C(R_{13})(R_{14})$ or O;

R_{11} is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;

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R₁₂ is H or C₁-C₆ alkyl; and

R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl;

R₁₅ is H, halogen, C₁₋₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH, wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R₁₆ is H, halogen, or C₁-C₆ alkyl;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen, or a group of Formula I at position R₁ thereof;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q₄ thereof.

74. (Original): The compound of claim 73 wherein R₃ and R₄ are each halogen.

75. (Original): The compound of claim 73 wherein R₃ and R₄ are each chlorine.

76. (Previously amended): The compound of claim 73 wherein R_{2a} is amino, Cl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C₂₄ alkylene)-N-phthalimido ; wherein each of said heterocycloalkyl heteroaryl, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected- from NH₂, OH, CH₃, COOCH₃, a structure of formula -

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$C(=O)CH(NH_2)-L_2$ where L_2 is a serine or threonine side chain, $-C(NH_2)-NH$, benzimidazolyl, or benzimidazolemethyl.

77. (Previously amended): The compound of claim 75 wherein R_{2a} is amino, Cl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula $-S-(C_{24} \text{ alkylene})-N$ -phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH_2 , OH, CH_3 , $COOCH_3$, a structure of formula $-C(=O)CH(NH_2)-L_2$ where L_2 is a serine or threonine side chain, $-C(NH_2)-NH$, benzimidazole, or benzimidazolemethyl.

78. (Previously amended): The compound of claim 73 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, $-CH_2$ -piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, $-CH_2$ -piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH_2 , methylcarbonyl, $-C(=O)CH(NH_2)-CH_2OH$, methyl, OH, $-C(NH_2)=NH$, OH, benzimidazole-2-yl, and $-CH_2$ -benzimidazole-2-yl.

79. (Previously amended): The compound of claim 75 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, $-CH_2$ -piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, $-CH_2$ -piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH_2 , methylcarbonyl, $-C(=O)CH(NH_2)-CH_2OH$, methyl, OH, $-C(NH_2)=NH$, OH, benzimidazole-2-yl, and $-CH_2$ -benzimidazole-2-yl.

80. (Previously amended): The compound of claim 73 wherein R_{2a} is amino, Cl, pyridin-4-yl,

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substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-ylamino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)-NH$, or CH_3 .

81. (Previously amended): The compound of claim 75 wherein R_{2a} is amino, Cl, pyridin-4-yl, substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperidin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-ylamino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)-NH$, or CH_3 .

82. (Original): The compound of claim 73 wherein R_{2a} is amino, piperidin-4-yl-amino, piperiazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)-NH$, or CH_3 , 4-amino-piperidin-1-yl, 3-amino-phen-1-yl, 3-amino-cyclopent-1-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with NH_2 , 4-hydroxypyrrolidin-2-yl, piperazin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-1-yl-methyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.

83. (Original): The compound of claim 73 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)-NH$, or CH_3 .

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84. (Original): The compound of claim 75 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

85. (Original): The compound of claim 73 wherein R_{2a} is piperidin-4-yl.

86. (Original): The compound of claim 75 wherein R_{2a} is piperidin-4-yl.

87. (Original): The compound of claim 73 wherein R_{2a} is NH_2 .

88. (Original): The compound of claim 75 wherein R_{2a} is NH_2 .

89. (Original): The compound of claim 86 wherein R_{30} is alkyl substituted with $-C(-O)-R_5$.

90. (Original): The compound of claim 89 wherein R_5 is $-NHNHR_6$, or $-NHN=CH-R_6$.

91. (Original): The compound of claim 90 wherein R_5 is $-NHNHR_6$.

92. (Original): The compound of claim 90 wherein R_5 is $-NHN=CH-R_6$.

93. (Original): The compound of claim 91 wherein R_6 is $-C(-O)-NH$ -aryl, $-C(-O)-NII$ -cycloalkyl, $-C(-S)-NH$ -aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, $-C(=S)-NH$ -alkylene- R_{21} where R_{21} is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, NO_2 , a moiety of formula $-OC_2H_4-O-$ attached to adjacent atoms

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of said R_6 group, aryl, C_{1-6} alkoxy, carboxy, or C_{1-6} trihaloalkoxy.

94. (Original): The compound of claim 92 wherein R_6 is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C_{1-6} alkoxy, NO_2 , C_{1-6} trihaloalkoxy, C_{1-6} trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula $-OC_2H_4O-$ attached to adjacent atoms of said R_6 group.

95. (Cancelled).

96. (Original): The compound of claim 86 wherein R_{30} has the formula $-(CH_2)_q-L_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L_4 is optionally substituted with up to three substituents selected from halogen and NO_2 .

97. (Original): The compound of claim 96 wherein said L_4 is malcimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

Claims 98-106 (Canceled)